

PATENT
Docket No. 300622000501

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Aliza Foat
Aliza Foat

#5

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In the application of:

Chaitan KHOSLA, et al.

Serial No.: 09/852,416

Filing Date: May 9, 2001

For: MACROLIDE ANALOGS

Examiner: Not yet assigned

Group Art Unit: 1627

SUBMISSION OF FORMAL DRAWINGS

Assistant Commissioner for Patents
Washington, D.C. 20231

Dear Sir:

Enclosed are 22 sheet(s) of formal drawings in connection with the above-identified application.

Respectfully submitted,

Dated: December 18, 2001

By: Brenda J. Wallach
Brenda J. Wallach, Ph.D.
Registration No. 45,193

Morrison & Foerster LLP
3811 Valley Centre Drive
Suite 500
San Diego, California 92130-2332
Telephone: 858-720-7961
Facsimile: (858) 720-5125

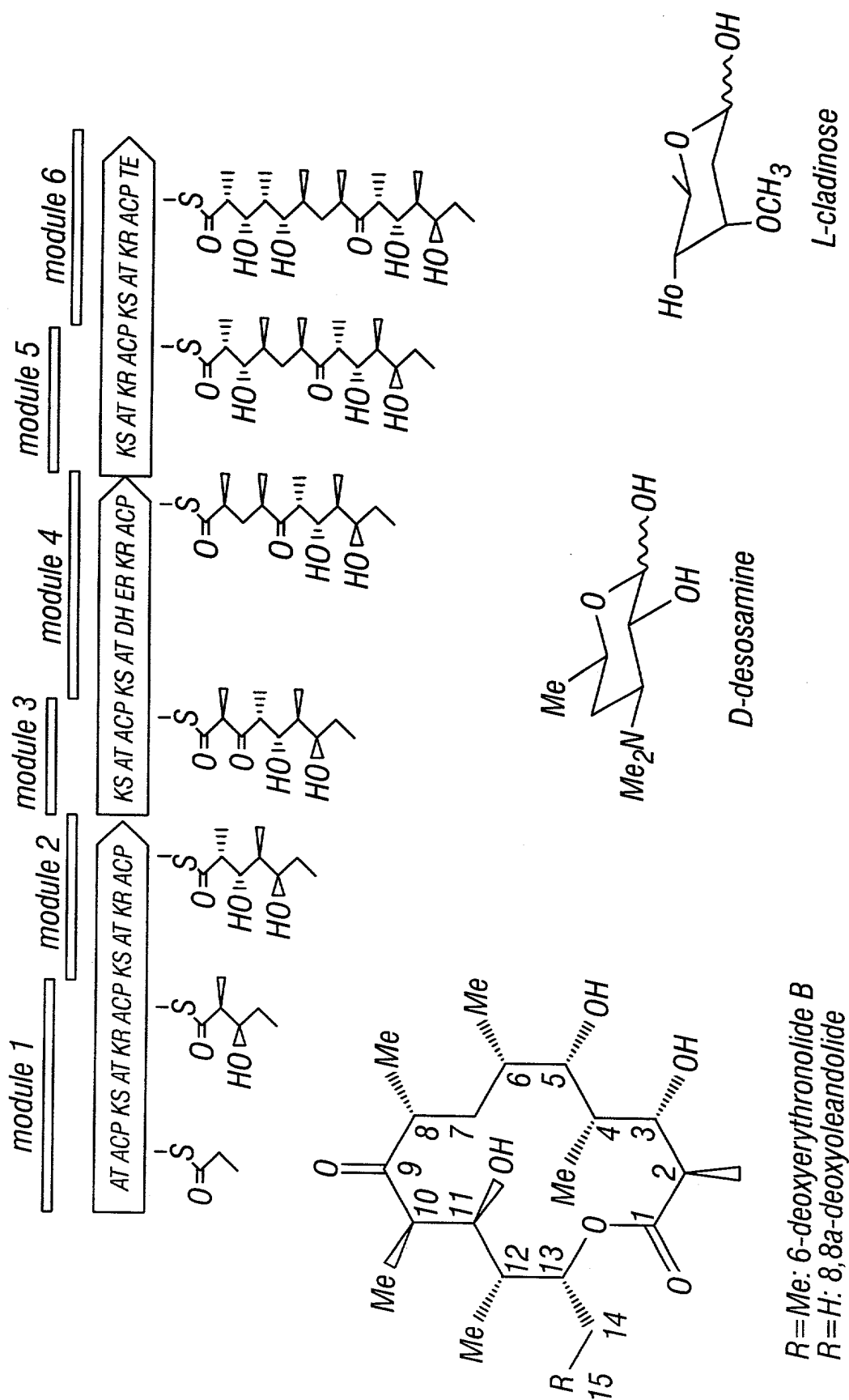


FIG. 1A

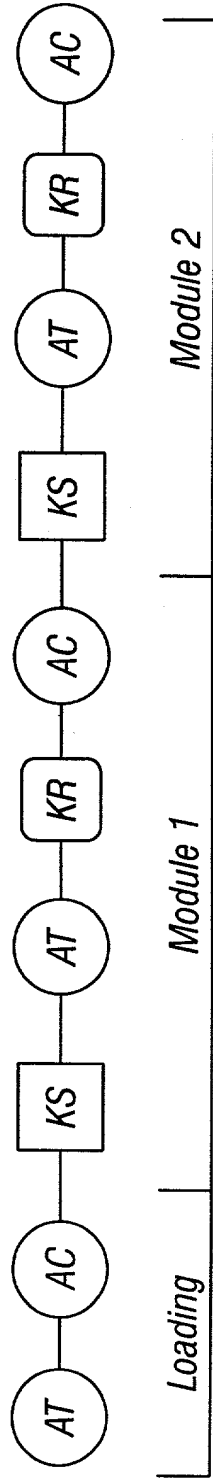


Post-PKS Biosynthesis of Erythromycins

FIG. 1B

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DEBSI:



Generic Modular PKS

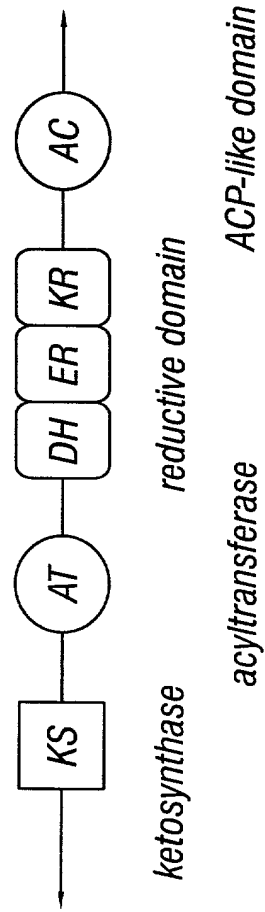


FIG. 2

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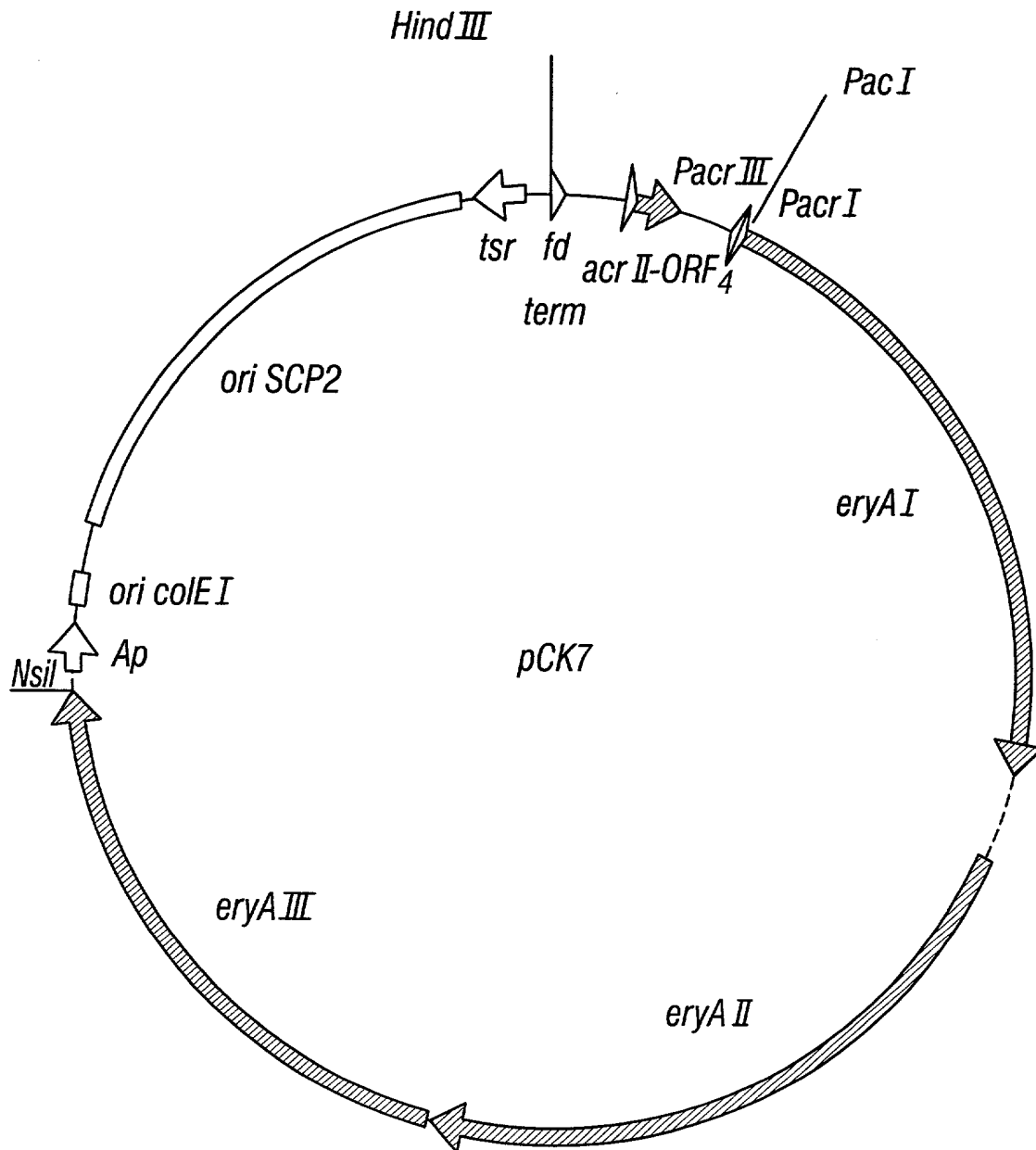


FIG. 3

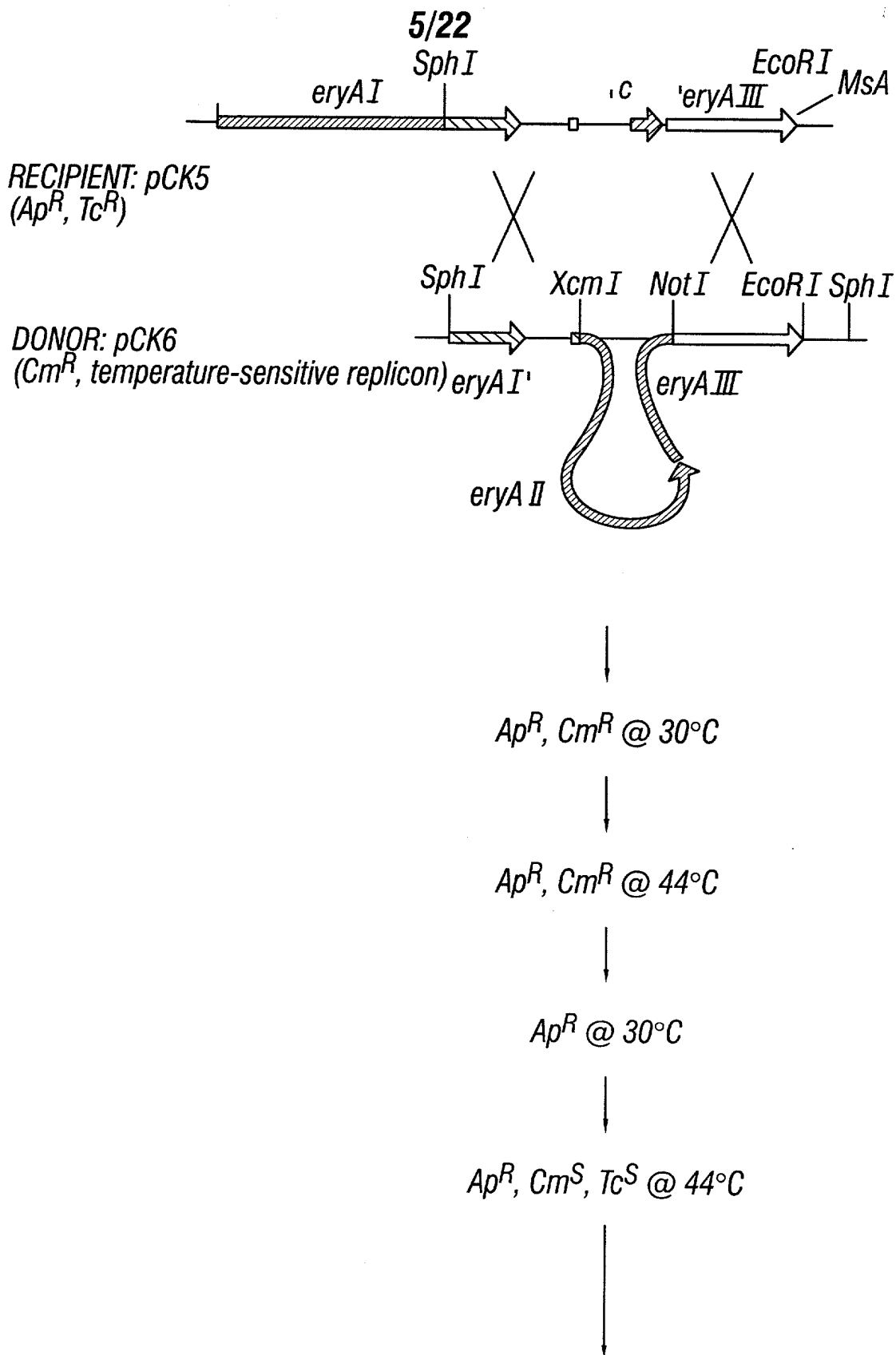


FIG. 4

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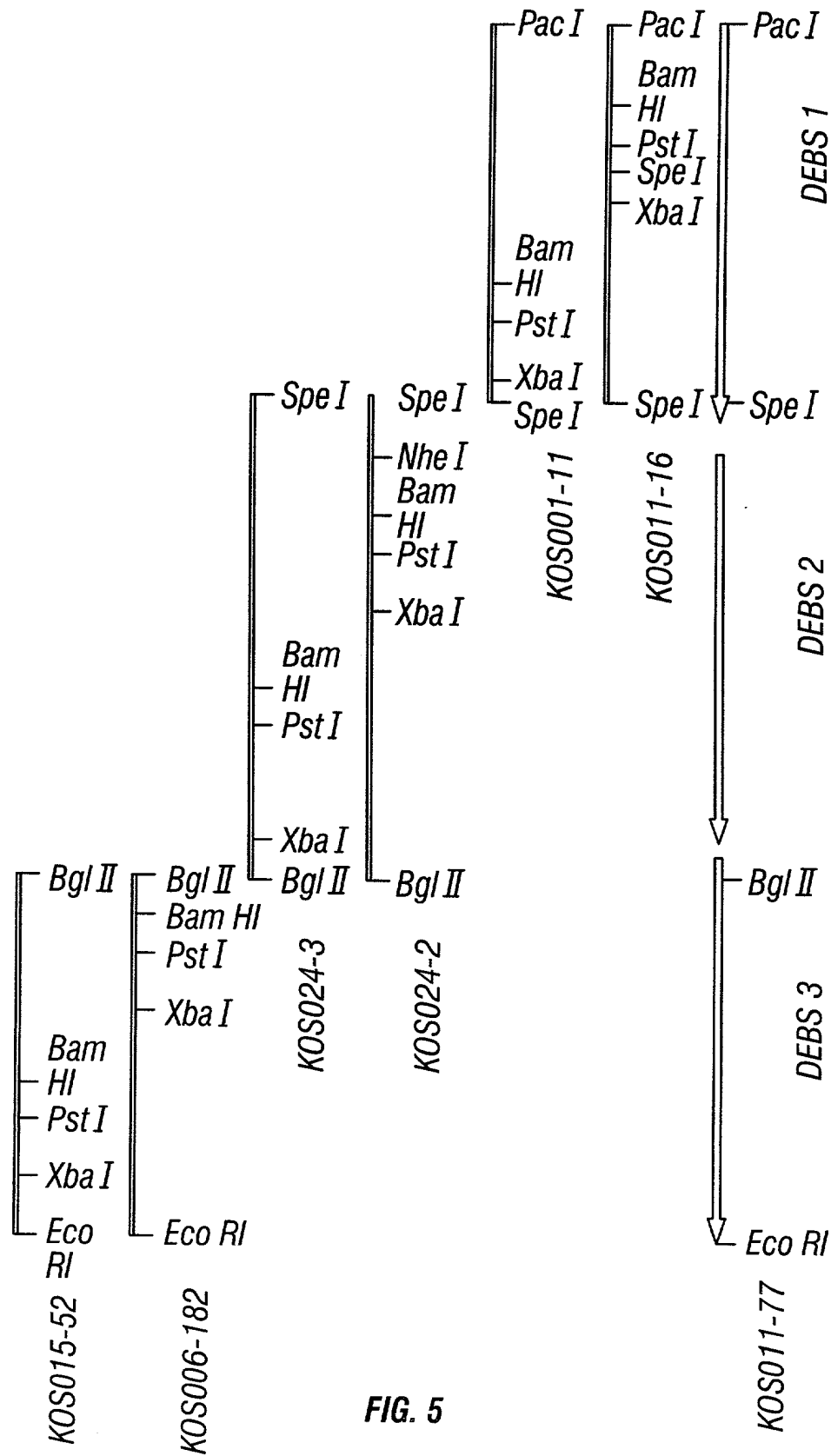


FIG. 5

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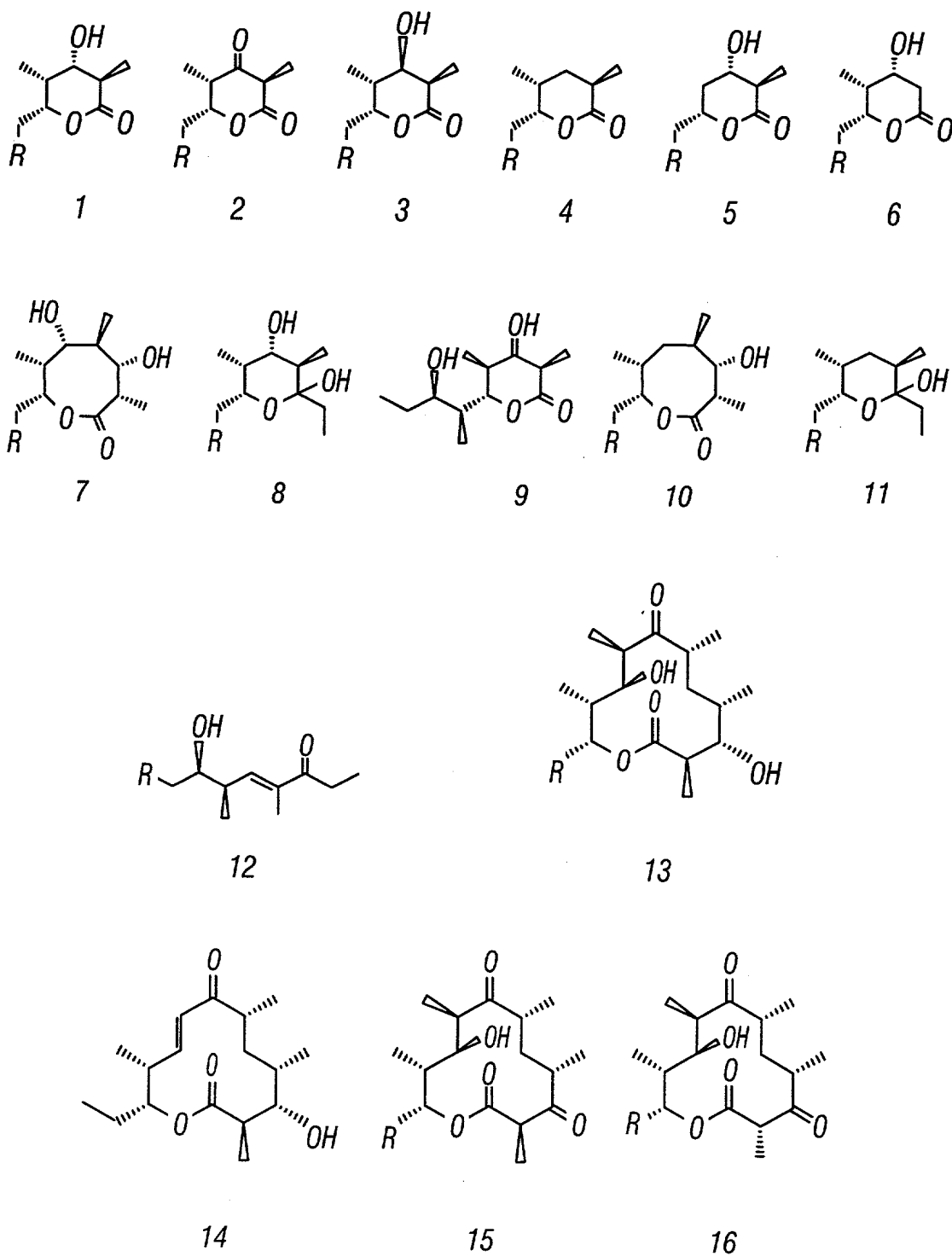


FIG. 6A

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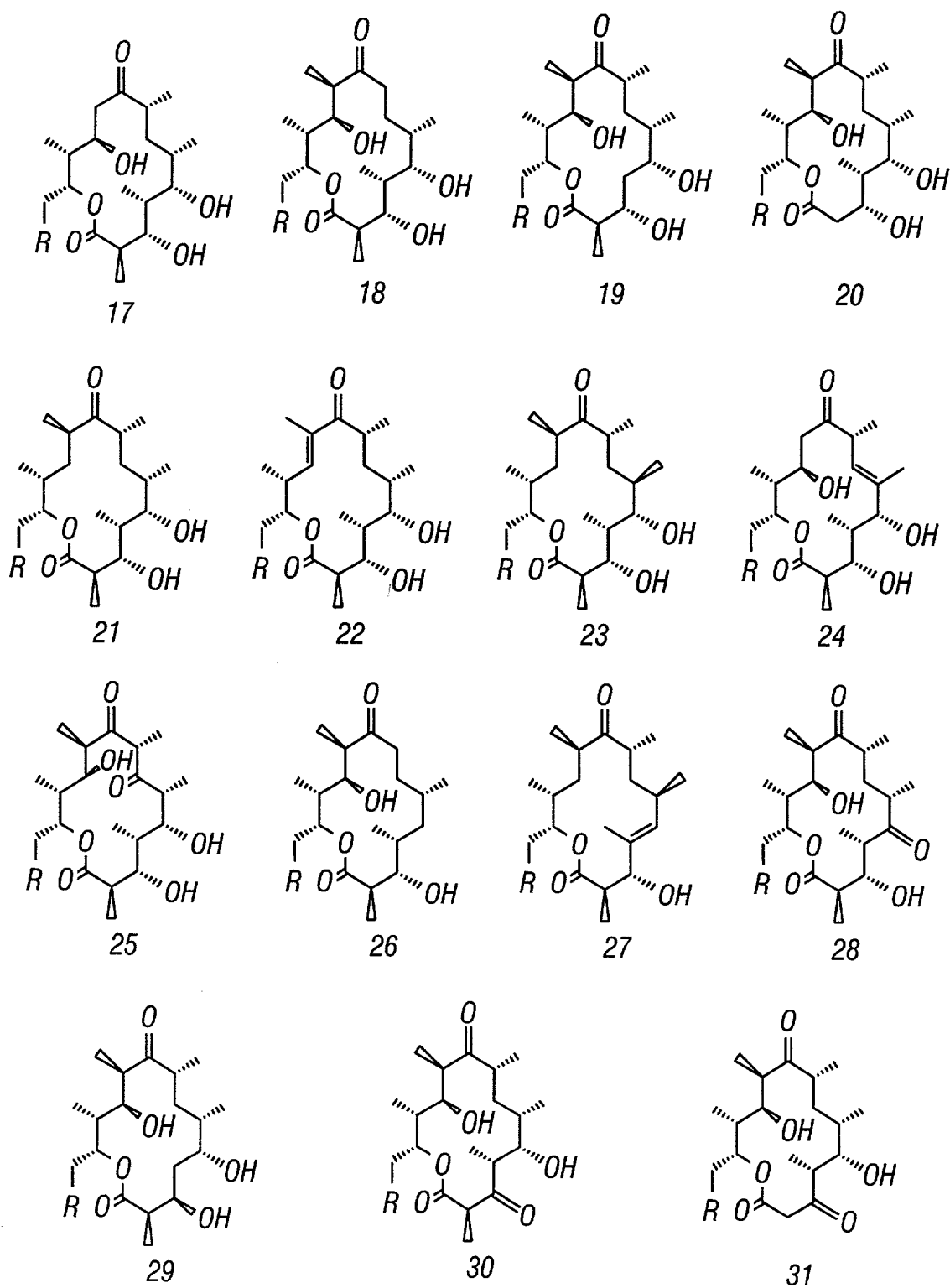


FIG. 6B

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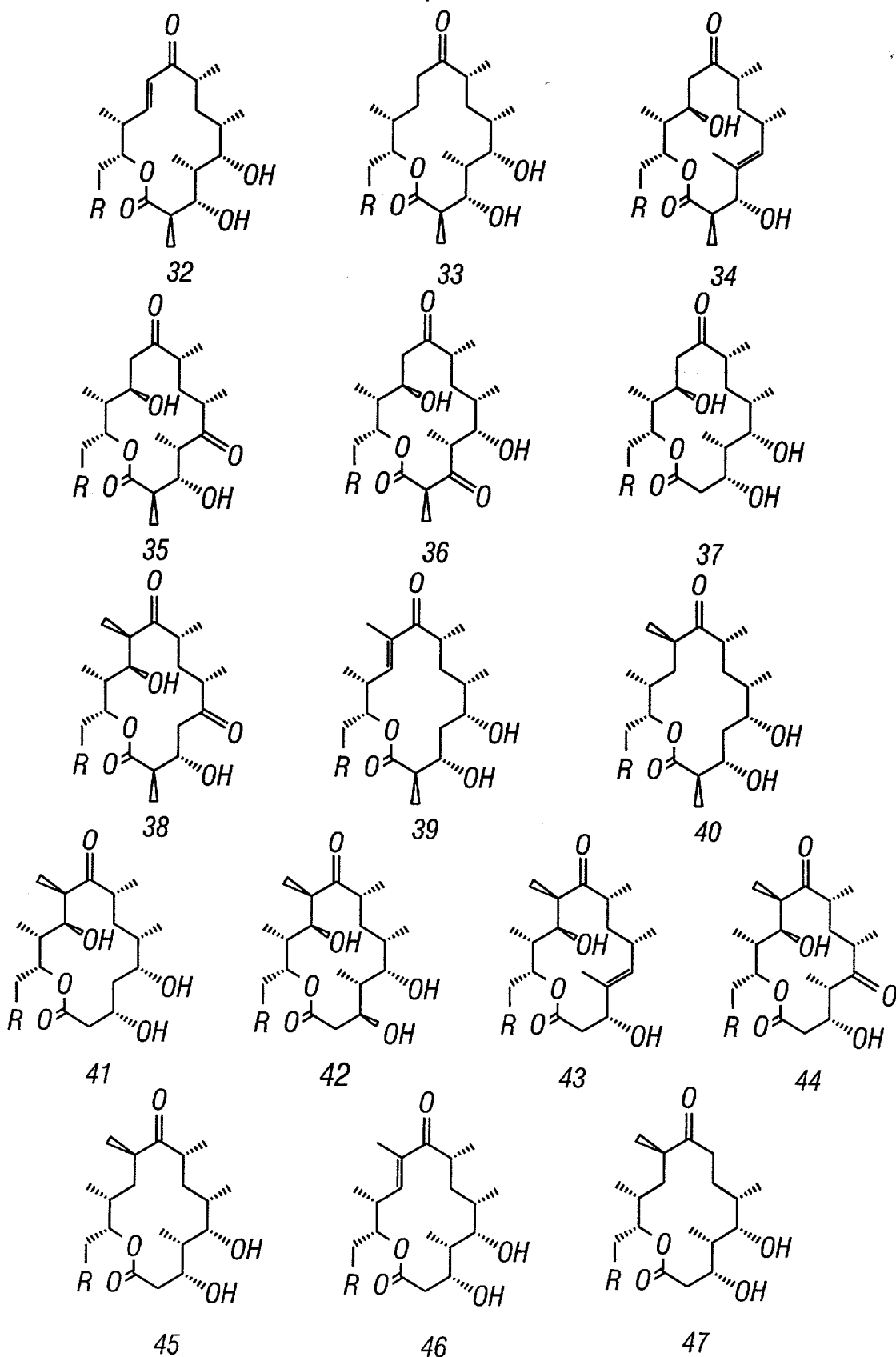


FIG. 6C

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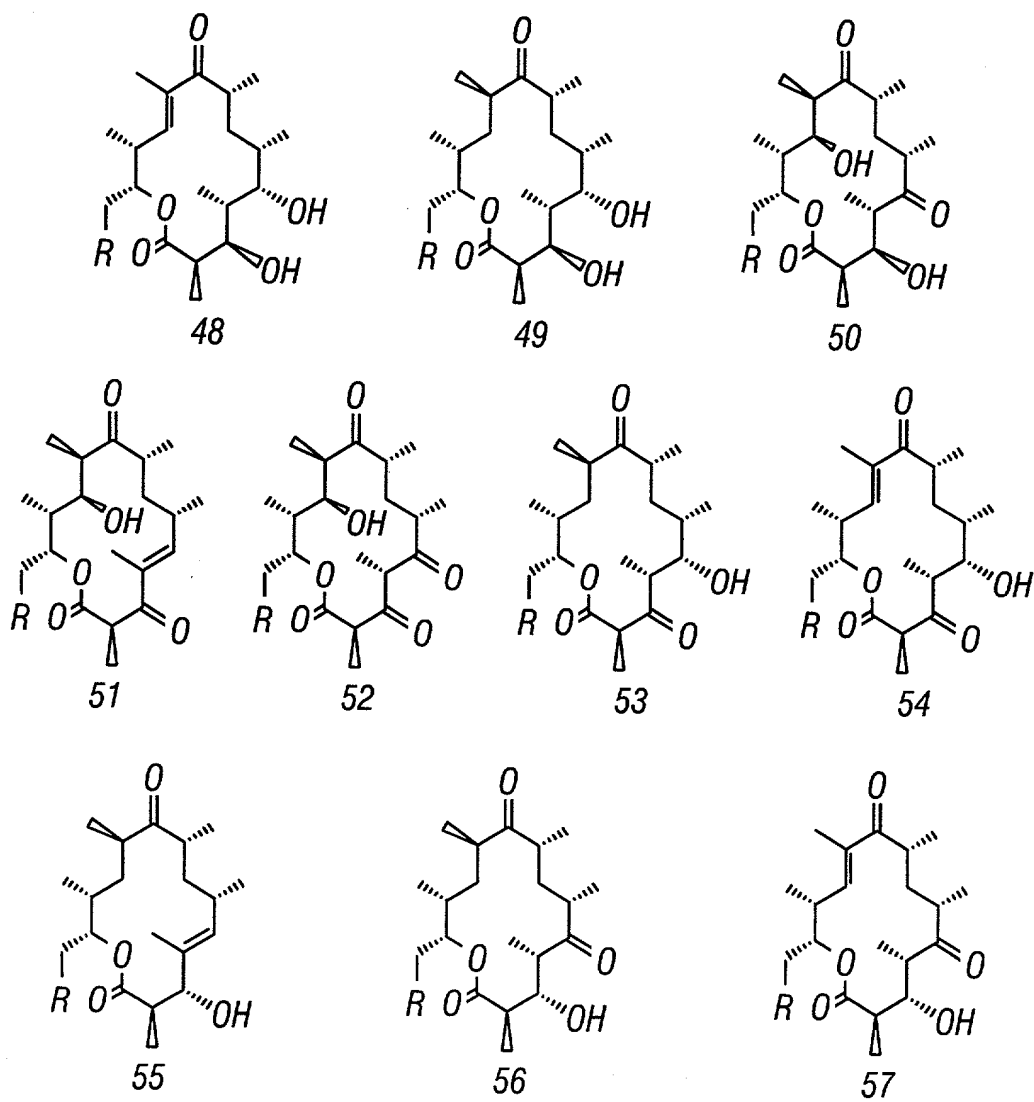


FIG. 6D

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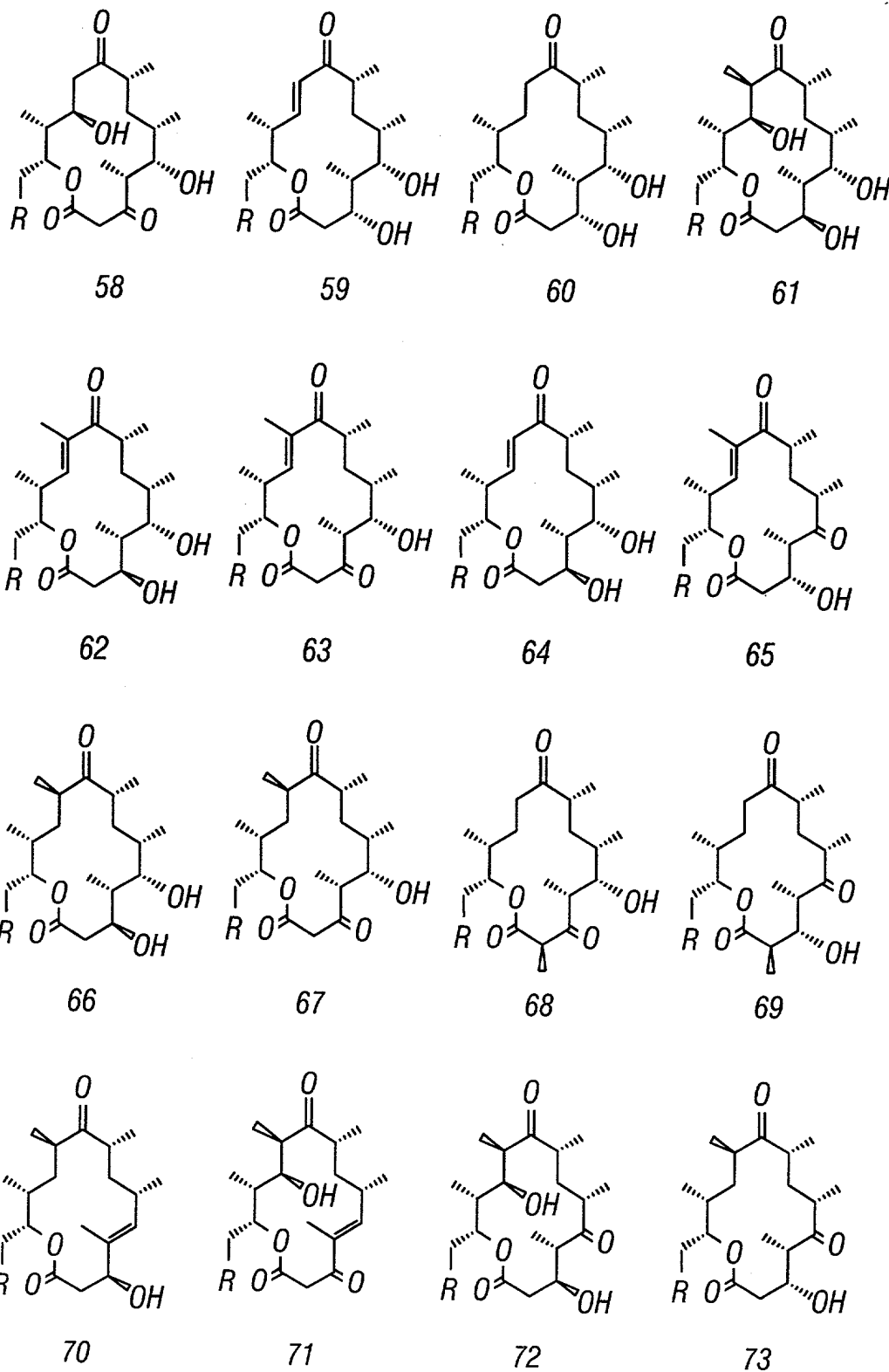


FIG. 6E

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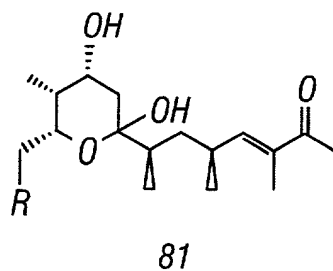
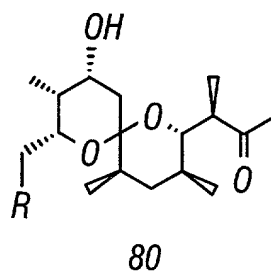
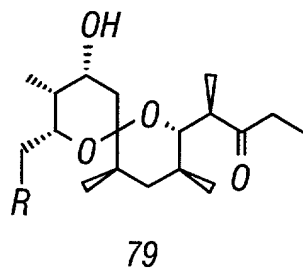
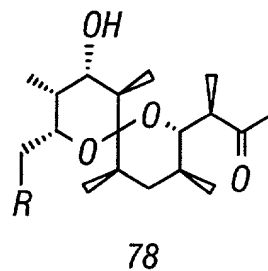
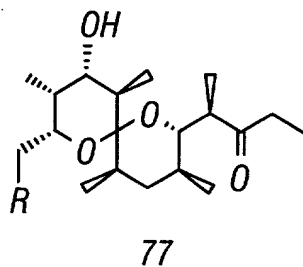
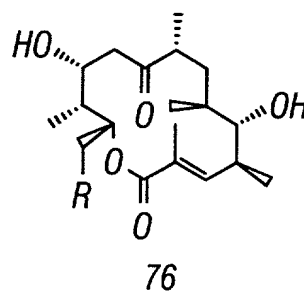
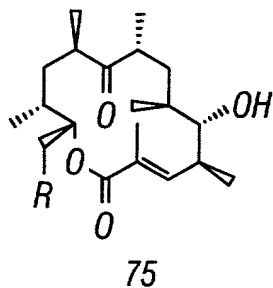
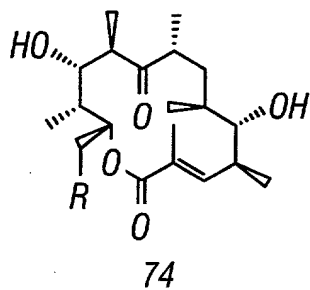


FIG. 6F

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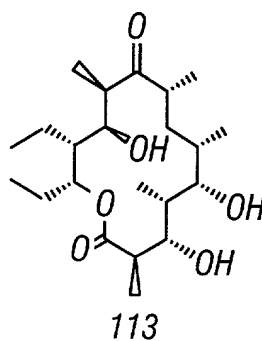
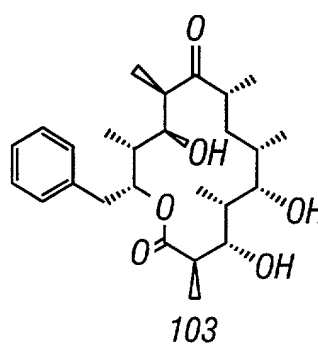
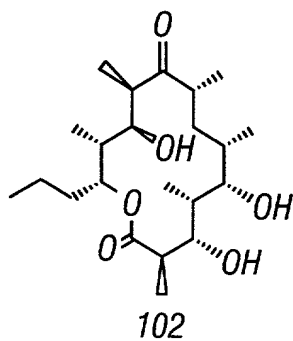
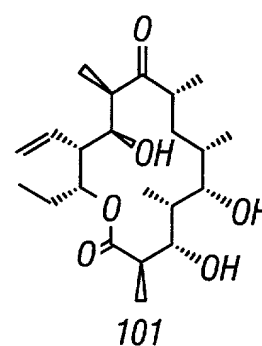
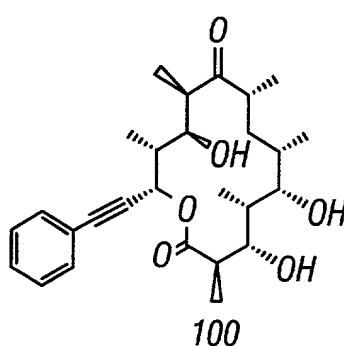
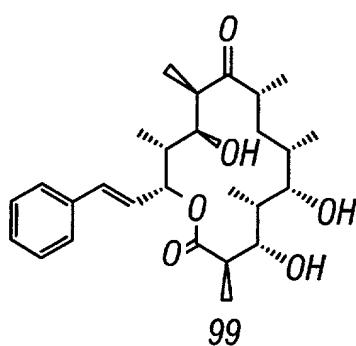
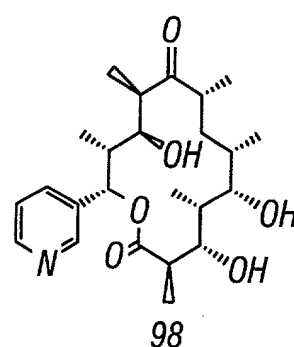
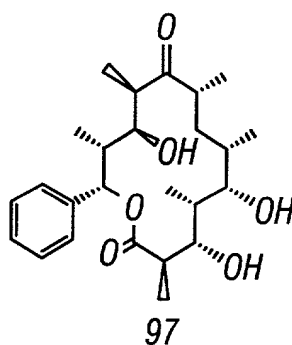
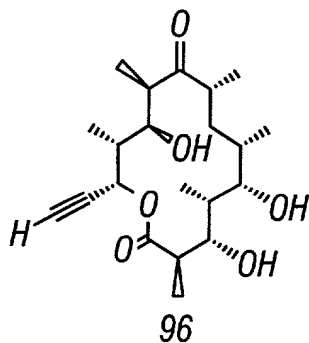
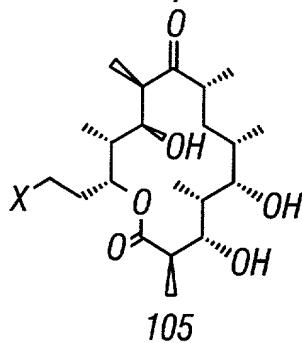
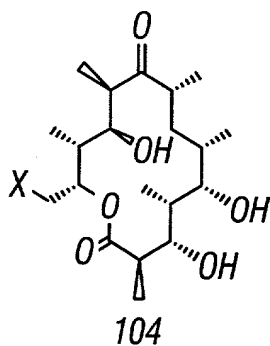
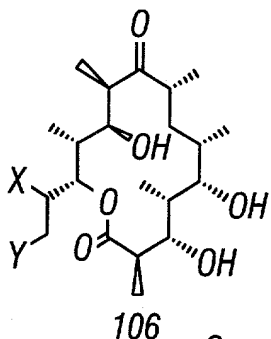


FIG. 6G

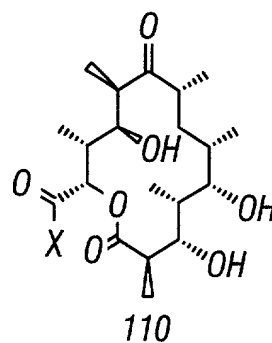
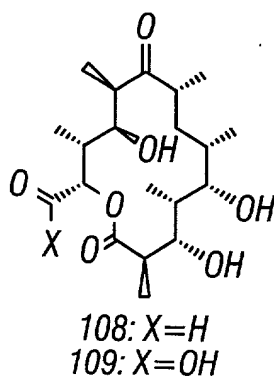
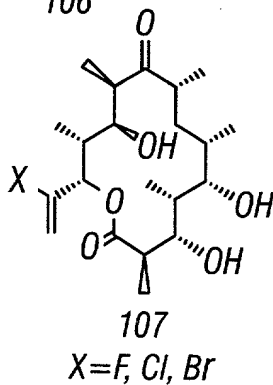
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$X = F, Cl, Br, N_3, OH, O\text{-alkyl}, S\text{-alkyl}, CN, O\text{-acyl}, O\text{-aryl}, NH_2, NH\text{-alkyl}, N(alkyl)_2$



$X = F; Y = H, Br, I, OH, O\text{-alkyl}, O\text{-aryl}, N_3, CN, S\text{-alkyl}, S\text{-aryl}$
 $X = OH, Y = OH$
 $X, Y = -O-, -NH-, -NR-$



$X = O\text{-alkyl}, O\text{-aryl}, NH_2, NH\text{-alkyl}, N(alkyl)_2, NH\text{-aryl}$

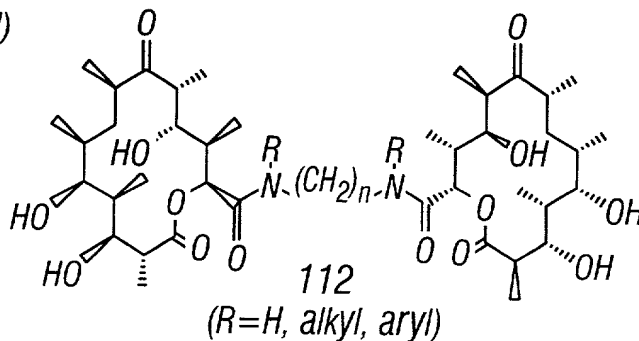
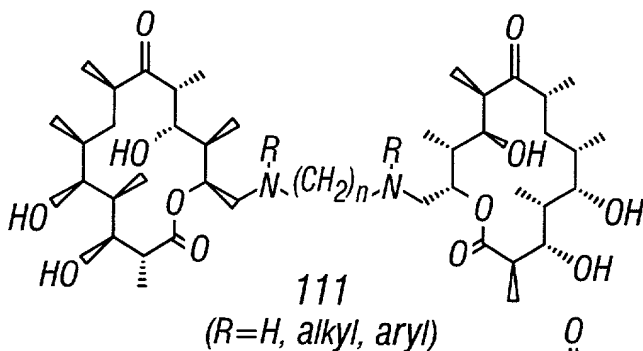


FIG. 6H

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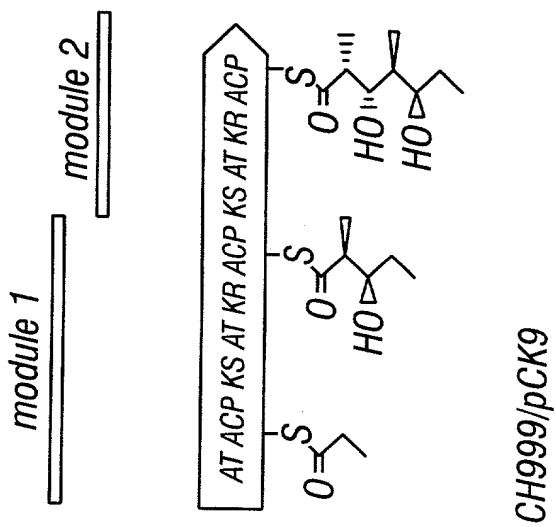


FIG. 7A

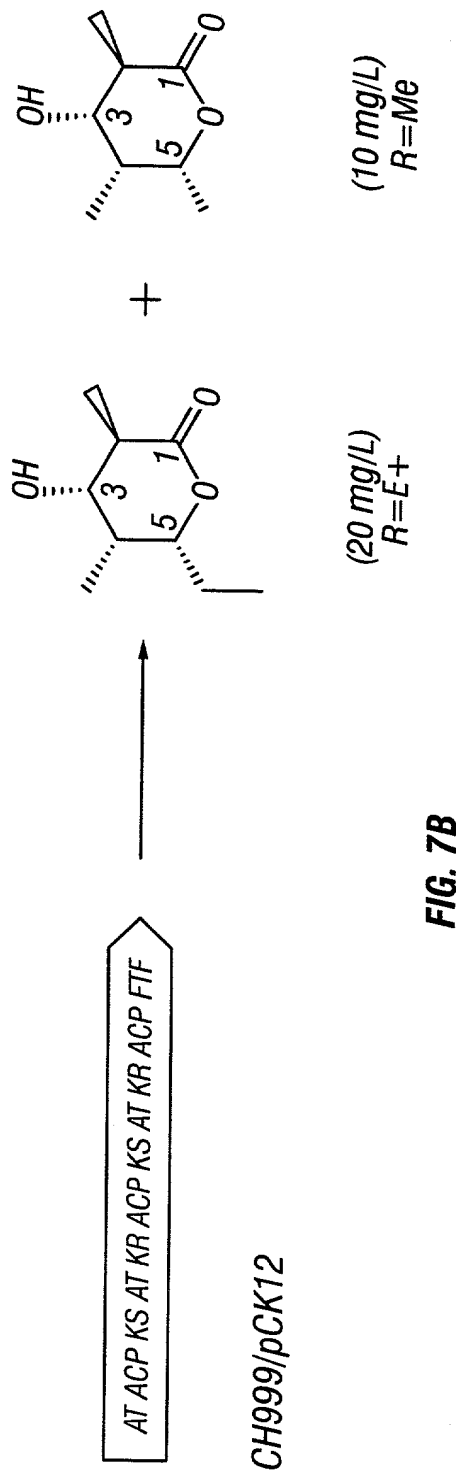


FIG. 7B

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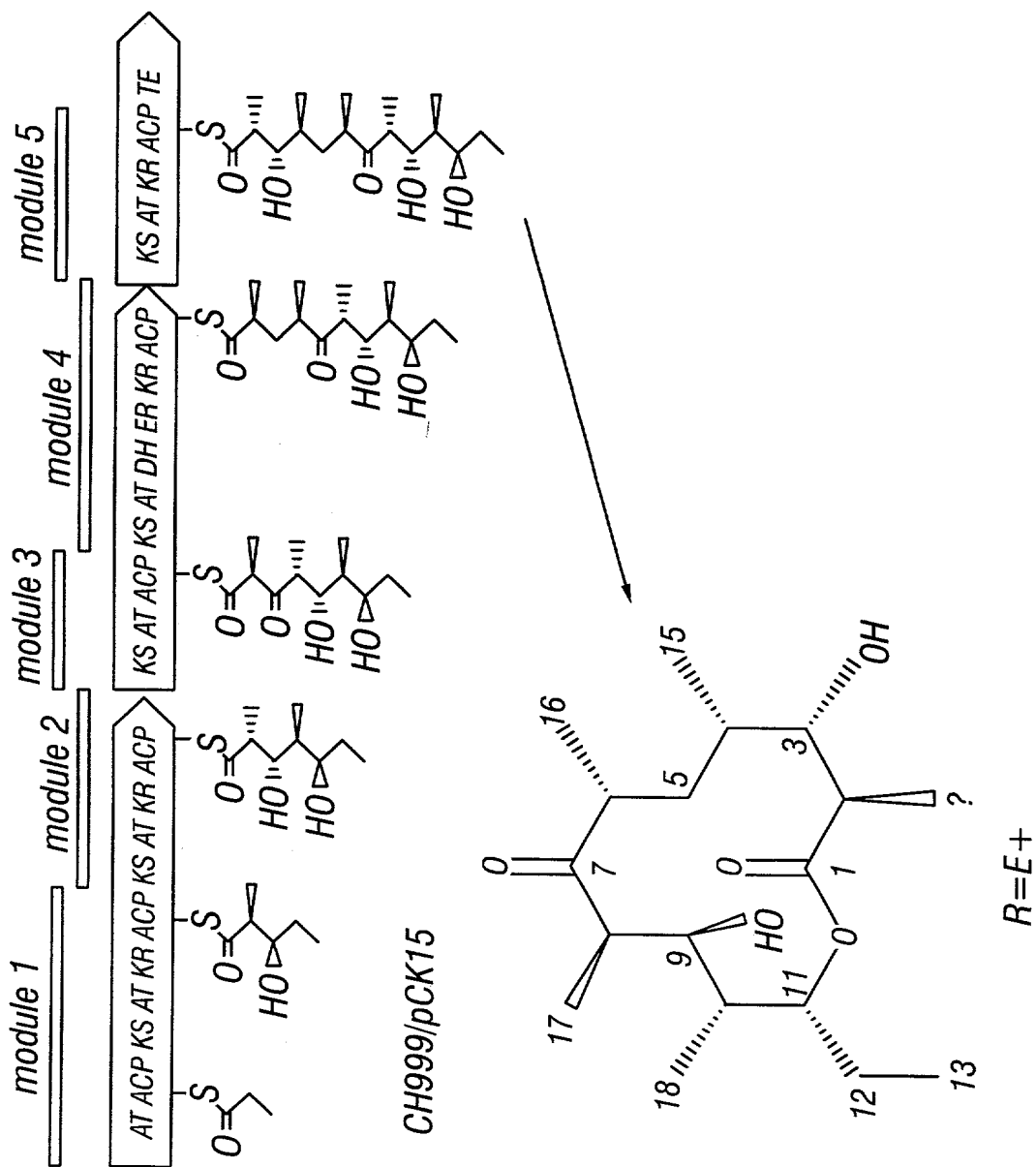


FIG. 7C

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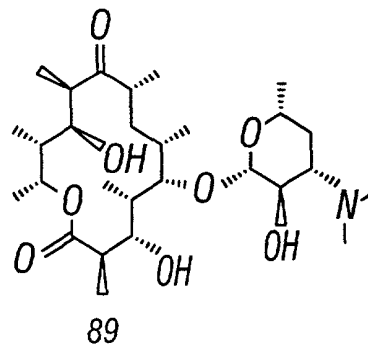
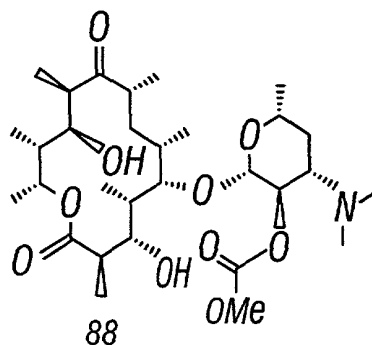
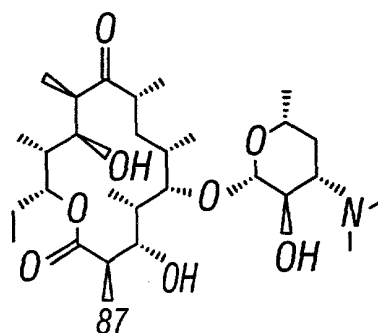
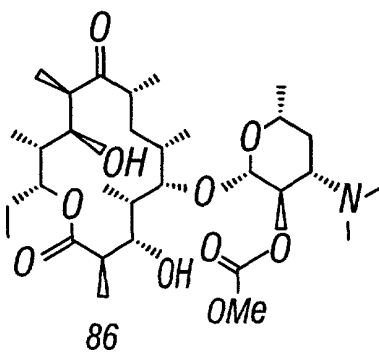
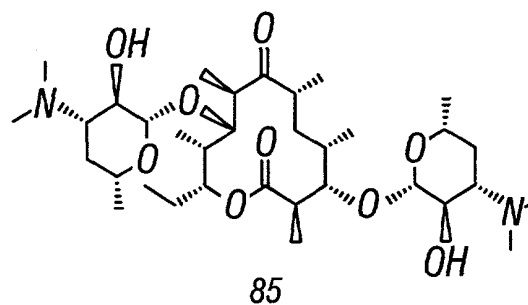
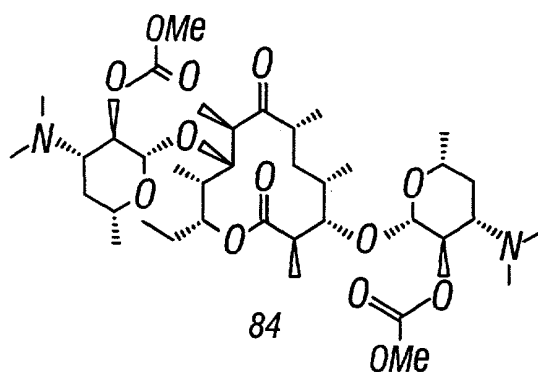
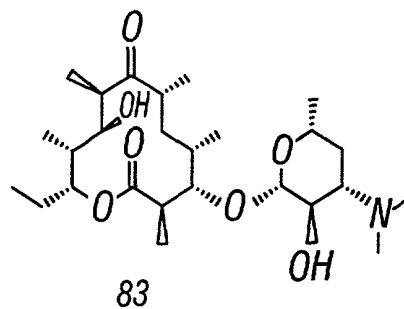
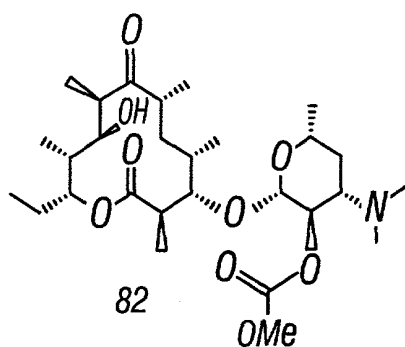


FIG. 8A

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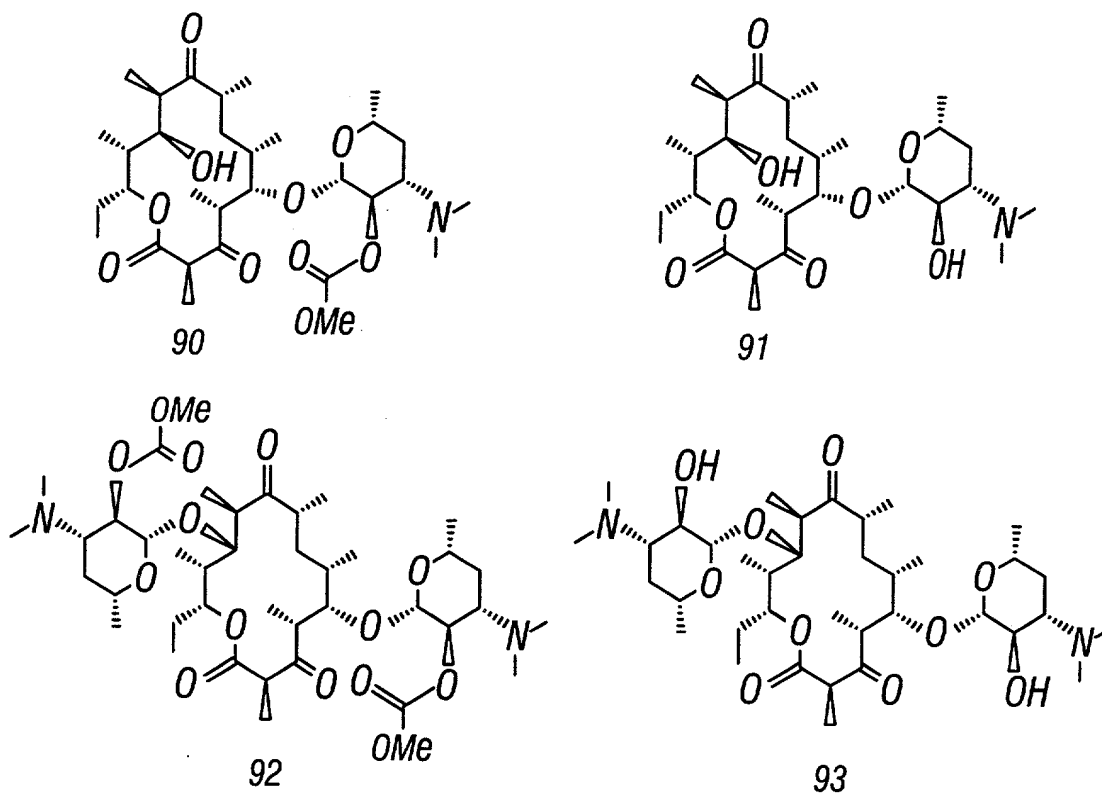


FIG. 8B

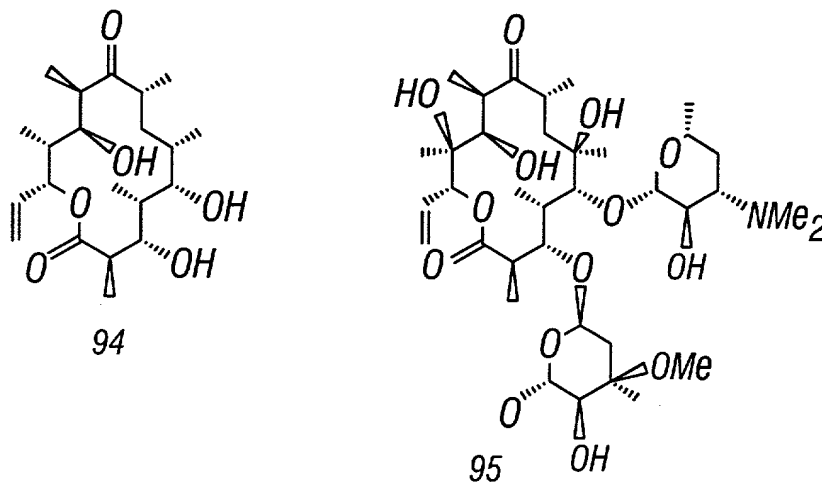


FIG. 9

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Preparation of 1-(2-mercaptopyrimidinyl)-2-O-(methoxycarbonyl)-(D)-desosamine

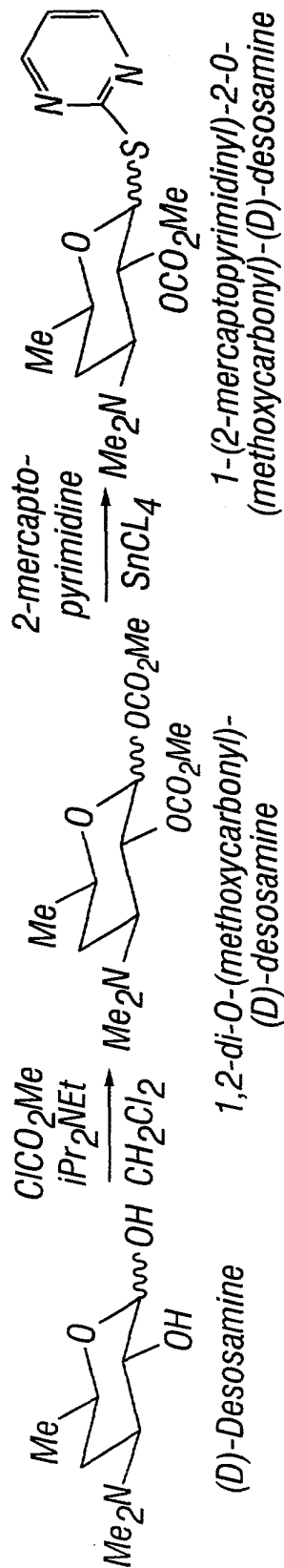
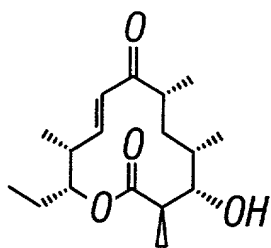
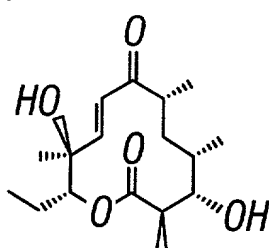


FIG. 10

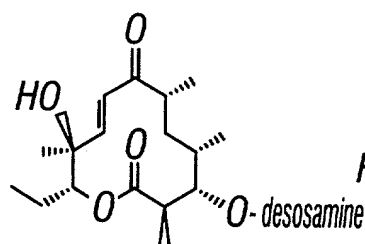
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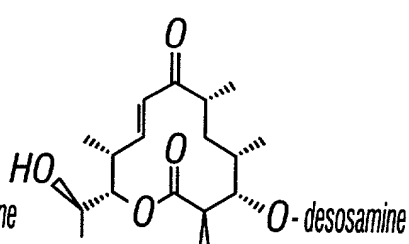
10-deoxymethynolide
210



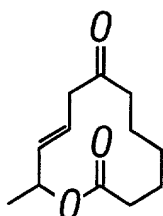
methynolide
211



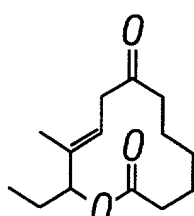
methymycin
212



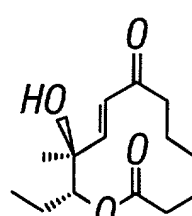
neomethymycin
213



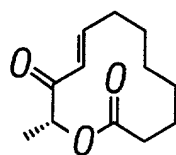
201



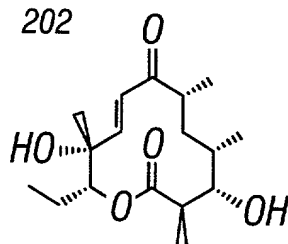
202



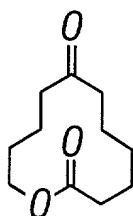
203



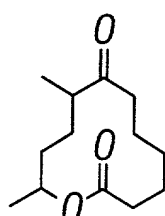
204



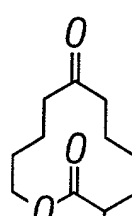
10-epi-methynolide
205



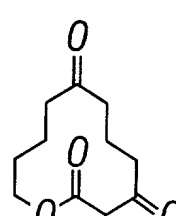
206



207



208

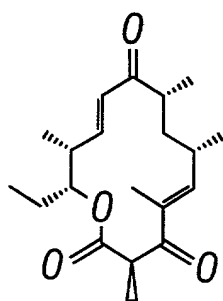
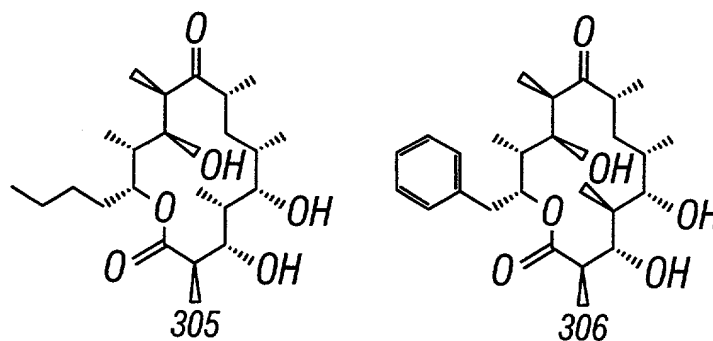
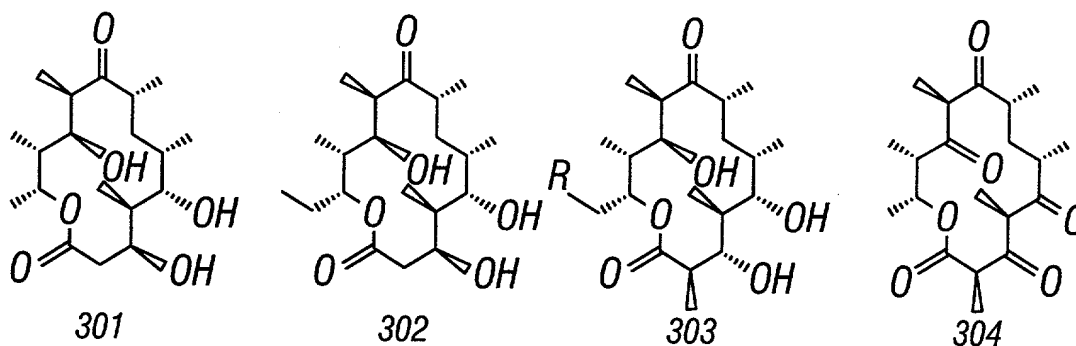


209

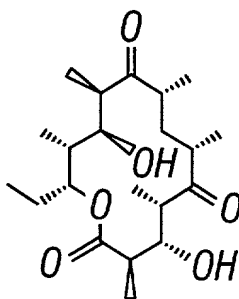
FIG. 11

206 207 208 209

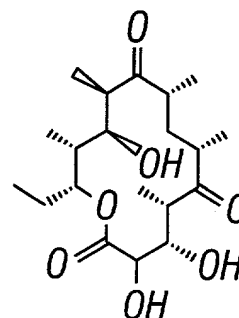
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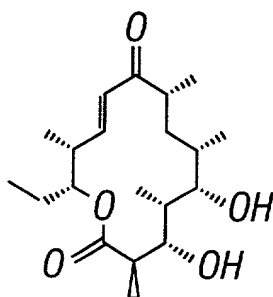
cromycin
307



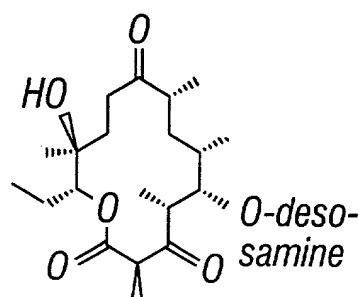
5-deoxy-5-oxo-6dEB
308



2-demethyl-2-hydroxy-5-deoxy-5-oxo-6dEB
309



3-dinydnarbonolide
310



10, 11-dihydropicromycin
311

FIG. 12A

2006-03-23 14:30:00

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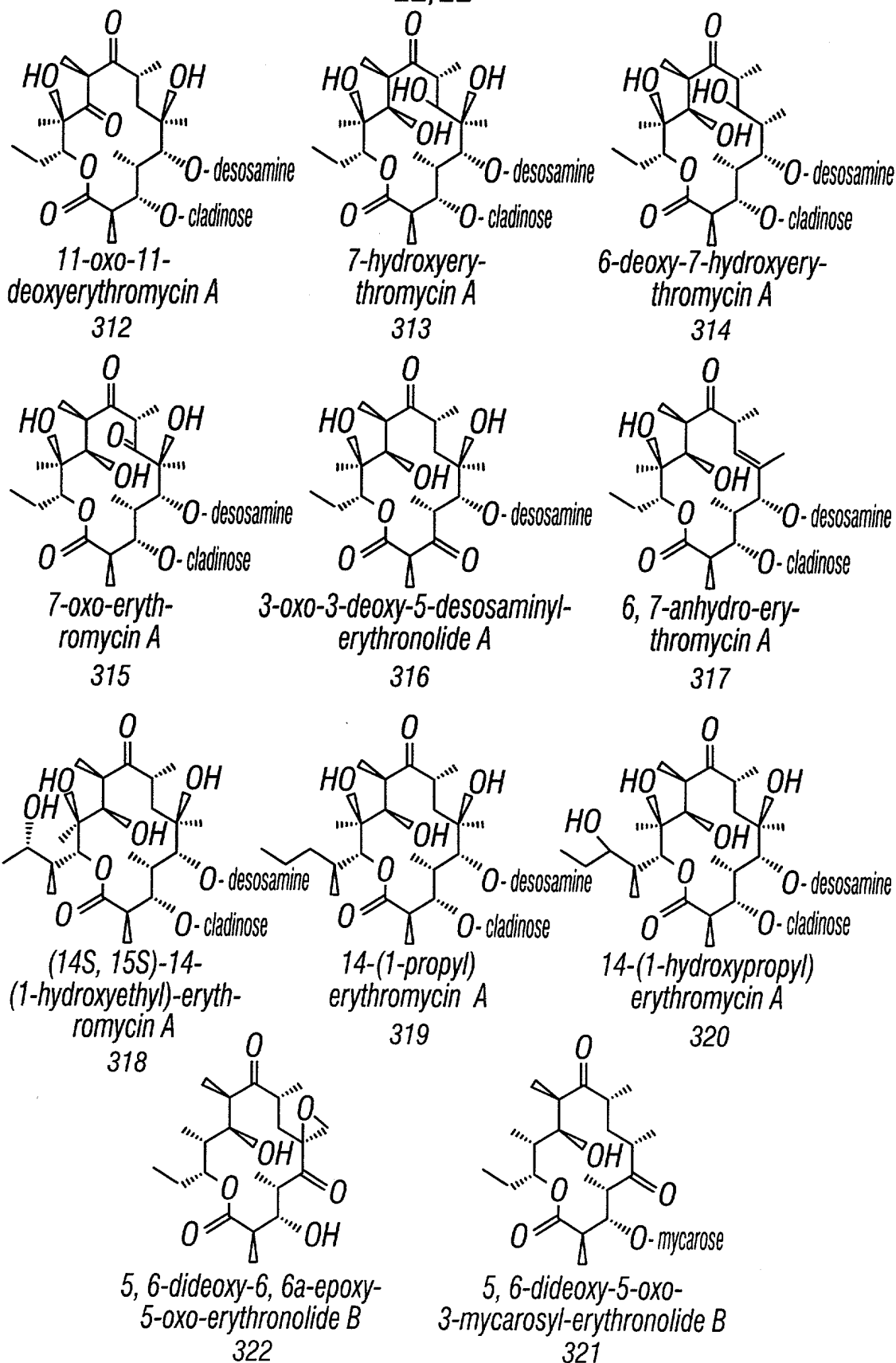


FIG. 12B